

=> d his nofile

(FILE 'HOME' ENTERED AT 12:17:20 ON 24 OCT 2006)

FILE 'REGISTRY' ENTERED AT 12:17:33 ON 24 OCT 2006

L1 STRUCTURE UPLOADED  
L2 0 SEA SSS SAM L1  
D QUE L1

FILE 'STNGUIDE' ENTERED AT 12:17:56 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 12:18:42 ON 24 OCT 2006

L3 STRUCTURE UPLOADED  
L4 3 SEA SSS SAM L3  
L5 STRUCTURE UPLOADED  
D QUE L5  
L6 0 SEA SSS SAM L5

FILE 'STNGUIDE' ENTERED AT 12:21:53 ON 24 OCT 2006

FILE 'CAPLUS' ENTERED AT 12:22:51 ON 24 OCT 2006

E US2006-569812/APPS  
L7 1 SEA ABB=ON PLU=ON US2006-569812/AP  
D SCAN  
SEL RN L7

FILE 'REGISTRY' ENTERED AT 12:23:15 ON 24 OCT 2006

L8 45 SEA ABB=ON PLU=ON (107-82-4/BI OR 126747-14-6/BI OR 127152-98  
-1/BI OR 14199-15-6/BI OR 156-38-7/BI OR 1647-26-3/BI OR  
18162-48-6/BI OR 1878-68-8/BI OR 27727-37-3/BI OR 33155-58-7/BI  
OR 335200-36-7/BI OR 5292-43-3/BI OR 5437-45-6/BI OR 55784-09-  
3/BI OR 845785-97-9/BI OR 845785-98-0/BI OR 845785-99-1/BI OR  
845786-00-7/BI OR 845786-01-8/BI OR 845786-02-9/BI OR 845786-03  
-0/BI OR 845786-04-1/BI OR 845786-06-3/BI OR 845786-07-4/BI OR  
845786-08-5/BI OR 845786-09-6/BI OR 845786-10-9/BI OR 845786-11  
-0/BI OR 845786-12-1/BI OR 845786-13-2/BI OR 845786-14-3/BI OR  
845786-15-4/BI OR 845786-16-5/BI OR 845786-17-6/BI OR 845786-18  
-7/BI OR 845786-19-8/BI OR 845786-20-1/BI OR 845786-21-2/BI OR  
845786-22-3/BI OR 845786-23-4/BI OR 845786-24-5/BI OR 845786-25  
-6/BI OR 845786-26-7/BI OR 845786-27-8/BI OR 98946-18-0/BI)  
D SCAN

FILE 'REGISTRY' ENTERED AT 12:42:18 ON 24 OCT 2006

L\*\*\* DEL6429975 S NR=3  
L\*\*\* DEL 0 S L\*\*\* AND O3/ELS AND N1/ELS  
L\*\*\* DEL 0 S L\*\*\* AND O3/ELS  
L\*\*\* DEL 0 S L\*\*\* AND 30/ELS  
D HIE

FILE 'STNGUIDE' ENTERED AT 12:45:24 ON 24 OCT 2006

L9 0 SEA ABB=ON PLU=ON L\*\*\* AND NH2/ELS  
L10 0 SEA ABB=ON PLU=ON L\*\*\* AND 2HN/ELS  
L11 0 SEA ABB=ON PLU=ON L\*\*\* AND NH2  
L12 0 SEA ABB=ON PLU=ON L\*\*\* AND NH2/ESS

FILE 'STNGUIDE' ENTERED AT 12:46:27 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 12:47:42 ON 24 OCT 2006

L13 STRUCTURE UPLOADED

L14 50 SEA SUB=L\*\*\* SSS SAM L13

FILE 'STNGUIDE' ENTERED AT 12:48:21 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 12:49:45 ON 24 OCT 2006

L15 STRUCTURE UPLOADED

L16 0 SEA SUB=L\*\*\* SSS SAM L15

D QUE L15

L17 STRUCTURE UPLOADED

L18 4 SEA SUB=L\*\*\* SSS SAM L17

D QUE L17

L19 4 SEA SSS SAM L17

D SCAN

D QUE L17

FILE 'STNGUIDE' ENTERED AT 12:53:54 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:00:08 ON 24 OCT 2006

L20 STRUCTURE UPLOADED

L21 29 SEA SSS SAM L20

FILE 'STNGUIDE' ENTERED AT 13:01:54 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:03:31 ON 24 OCT 2006

L22 STRUCTURE UPLOADED

L23 9 SEA SSS SAM L22

FILE 'STNGUIDE' ENTERED AT 13:03:53 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:05:19 ON 24 OCT 2006

L24 STRUCTURE UPLOADED

L25 1 SEA SSS SAM L24

D SCAN

FILE 'STNGUIDE' ENTERED AT 13:05:42 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:06:20 ON 24 OCT 2006

L26 STRUCTURE UPLOADED

L27 5 SEA SSS SAM L26

FILE 'STNGUIDE' ENTERED AT 13:06:48 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:08:33 ON 24 OCT 2006

L28 STRUCTURE UPLOADED

L29 50 SEA SSS SAM L28

D QUE L28

L30 STRUCTURE UPLOADED

L31 6 SEA SSS SAM L30

FILE 'STNGUIDE' ENTERED AT 13:10:10 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:11:44 ON 24 OCT 2006

L32 STRUCTURE UPLOADED

L33 6 SEA SSS SAM L32

FILE 'STNGUIDE' ENTERED AT 13:12:02 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:15:51 ON 24 OCT 2006

L34 STRUCTURE UPLOADED

LAO 10/569812

L35 6 SEA SSS SAM L34

FILE 'STNGUIDE' ENTERED AT 13:16:09 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:18:15 ON 24 OCT 2006

FILE 'STNGUIDE' ENTERED AT 13:19:56 ON 24 OCT 2006  
D QUE L34

L36 FILE 'REGISTRY' ENTERED AT 14:30:29 ON 24 OCT 2006  
6 SEA SSS SAM L34  
D SCAN

FILE 'STNGUIDE' ENTERED AT 14:31:05 ON 24 OCT 2006  
D SCAN L8

FILE 'REGISTRY' ENTERED AT 14:31:37 ON 24 OCT 2006  
D SCAN L8

L37 3 SEA ABB=ON PLU=ON L8 AND C17H14N2O3/MF  
D SCAN  
D L37 IDE

L\*\*\* DEL 118 S RID

FILE 'STNGUIDE' ENTERED AT 14:41:14 ON 24 OCT 2006

L38 FILE 'REGISTRY' ENTERED AT 14:43:31 ON 24 OCT 2006  
STRUCTURE UPLOADED  
L39 2 SEA SSS SAM L38

FILE 'STNGUIDE' ENTERED AT 14:43:56 ON 24 OCT 2006

L40 FILE 'REGISTRY' ENTERED AT 14:55:37 ON 24 OCT 2006  
STRUCTURE UPLOADED  
L41 0 SEA SSS SAM L40

FILE 'STNGUIDE' ENTERED AT 14:55:54 ON 24 OCT 2006

L42 FILE 'REGISTRY' ENTERED AT 14:57:05 ON 24 OCT 2006  
STRUCTURE UPLOADED

L43 9 SEA SSS SAM L42  
D QUE L42

L44 1518 SEA SSS FUL L42  
SAVE L44 LAO812/A TEMP

L45 9 SEA ABB=ON PLU=ON L44 AND L8

L46 36 SEA ABB=ON PLU=ON L8 NOT L45  
D SCAN  
D SCAN L43

FILE 'STNGUIDE' ENTERED AT 15:03:47 ON 24 OCT 2006

L47 FILE 'REGISTRY' ENTERED AT 15:04:55 ON 24 OCT 2006  
STRUCTURE UPLOADED

L48 0 SEA SUB=L44 SSS SAM L47

L49 9 SEA SUB=L44 SSS FUL L47

FILE 'HCAPLUS' ENTERED AT 15:05:26 ON 24 OCT 2006  
L50 5 SEA ABB=ON PLU=ON L49

FILE 'REGISTRY' ENTERED AT 15:05:44 ON 24 OCT 2006

D SCAN L49

FILE 'BEILSTEIN' ENTERED AT 15:07:31 ON 24 OCT 2006

L51 STRUCTURE UPLOADED  
L52 1 SEA SSS FUL L51  
L53 1 SEA ABB=ON PLU=ON L52 NOT L49

FILE 'MARPAT' ENTERED AT 15:08:31 ON 24 OCT 2006

L54 18 SEA SSS SAM L47  
L55 348 SEA SSS FUL L47  
L56 345 SEA ABB=ON PLU=ON L55/COM  
L57 15 SEA SUB=L55 SSS SAM L51  
L58 293 SEA SUB=L55 SSS FUL L51

FILE 'STNGUIDE' ENTERED AT 15:09:32 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:10:15 ON 24 OCT 2006

L59 STRUCTURE UPLOADED  
L60 11 SEA SUB=L55 SSS SAM L59  
L61 174 SEA SUB=L55 SSS FUL L59

FILE 'STNGUIDE' ENTERED AT 15:10:55 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:11:53 ON 24 OCT 2006

L62 STRUCTURE UPLOADED  
L63 9 SEA SUB=L55 SSS SAM L62

FILE 'STNGUIDE' ENTERED AT 15:12:18 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:13:16 ON 24 OCT 2006

L64 STRUCTURE UPLOADED  
L65 9 SEA SUB=L55 SSS SAM L64

FILE 'STNGUIDE' ENTERED AT 15:13:43 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:14:19 ON 24 OCT 2006

L66 STRUCTURE UPLOADED  
L67 9 SEA SUB=L55 SSS SAM L66

FILE 'STNGUIDE' ENTERED AT 15:14:42 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:15:55 ON 24 OCT 2006

L68 STRUCTURE UPLOADED  
L69 7 SEA SUB=L55 SSS SAM L68  
L70 103 SEA SUB=L55 SSS FUL L68  
L71 101 SEA ABB=ON PLU=ON L70/COM

FILE 'REGISTRY' ENTERED AT 15:16:49 ON 24 OCT 2006

FILE 'HCAPLUS' ENTERED AT 15:17:01 ON 24 OCT 2006

L72 420 SEA ABB=ON PLU=ON L44  
L73 113 SEA ABB=ON PLU=ON L44 (L) (THU OR PAC OR BAC OR PKT OR  
DMA)/RL  
L74 86 SEA ABB=ON PLU=ON L73 AND (PY<2003 OR AY<2003 OR PRY<2003)  
E INFLAMMATORY DISEASE/CT  
E E3+ALL  
E E2+ALL  
L75 196219 SEA ABB=ON PLU=ON INFLAMMATION+OLD, PFT, RT, NT/CT  
E AUTOIMMUNE /CT

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E E8+ALL
L76      43307 SEA ABB=ON  PLU=ON  "AUTOIMMUNE DISEASE"+OLD,PFT,RT,NT/CT
L77      295902 SEA ABB=ON  PLU=ON  (INFLAMM? OR AUTOIMMUN? OR AUTO(1A)IMMUN?)/
OBI,BI
L78      18 SEA ABB=ON  PLU=ON  L74 AND (L75 OR L76)
L79      20 SEA ABB=ON  PLU=ON  L74 AND L77
L80      22 SEA ABB=ON  PLU=ON  (L78 OR L79)
L81      23 SEA ABB=ON  PLU=ON  (L7 OR L80)
L82      420 SEA ABB=ON  PLU=ON  (L7 OR L72)
L83      113 SEA ABB=ON  PLU=ON  (L7 OR L73)
L84      87 SEA ABB=ON  PLU=ON  (L7 OR L74)
D KWIC L80
D KWIC L80 2
L85      31 SEA ABB=ON  PLU=ON  L73 AND (L75 OR L76 OR L77)
L86      31 SEA ABB=ON  PLU=ON  (L85 OR L80)

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FILE 'STNGUIDE' ENTERED AT 15:21:22 ON 24 OCT 2006

FILE 'HCAPLUS' ENTERED AT 15:22:04 ON 24 OCT 2006

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E HOLMES/CT
E HOLMES/AU
E HOLMES I/AU
L87      103 SEA ABB=ON  PLU=ON  ("HOLMES I"/AU OR "HOLMES I B"/AU OR
"HOLMES I F"/AU OR "HOLMES I H"/AU OR "HOLMES I P"/AU OR
"HOLMES IAN"/AU OR "HOLMES IAN B"/AU OR "HOLMES IAN D"/AU OR
"HOLMES IAN F"/AU OR "HOLMES IAN H"/AU OR "HOLMES IAN HAMILTON"
/AU OR "HOLMES IAN P"/AU OR "HOLMES IAN PETER"/AU)
E WATSON S/AU
L88      94 SEA ABB=ON  PLU=ON  ("WATSON S"/AU OR "WATSON S P"/AU)
E WATSON S/AU
L89      8 SEA ABB=ON  PLU=ON  ("WATSON STEFAN"/AU OR "WATSON STEPHEN"/AU)
E WATSON STE/AU
L90      224 SEA ABB=ON  PLU=ON  ("WATSON STEPHEN P"/AU OR "WATSON STEPHEN
PAUL"/AU OR "WATSON STEVE P"/AU)
E WATSON STE/AU
L91      3 SEA ABB=ON  PLU=ON  "WATSON STEVEN P"/AU
L92      4 SEA ABB=ON  PLU=ON  L87 AND (L88 OR L89 OR L90 OR L91)
L93      6 SEA ABB=ON  PLU=ON  (L87 OR L88 OR L89 OR L90 OR L91 OR L92)
AND (L75 OR L76 OR L77)
L94      6 SEA ABB=ON  PLU=ON  (L92 OR L93)
D QUE L49
L95      3 SEA ABB=ON  PLU=ON  L49 AND (PY<2003 OR AY<2003 OR PRY<2003)
D BIB
L96      30 SEA ABB=ON  PLU=ON  L86 NOT L94
L97      4 SEA ABB=ON  PLU=ON  L49 NOT L94
L98      6 SEA ABB=ON  PLU=ON  (L94 OR L7)

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=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 15:26:19 ON 24 OCT 2006

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FILE COVERS 1907 - 24 Oct 2006 VOL 145 ISS 18  
FILE LAST UPDATED: 23 Oct 2006 (20061023/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 194

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L75      196219 SEA FILE=HCAPLUS ABB=ON  PLU=ON  INFLAMMATION+OLD,PFT,RT,NT/CT

L76      43307 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "AUTOIMMUNE DISEASE"+OLD,PFT,R
          T,NT/CT

L77      295902 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (INFLAMM? OR AUTOIMMUN? OR
          AUTO(1A) IMMUN?)/OBI,BI

L87      103 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("HOLMES I"/AU OR "HOLMES I
          B"/AU OR "HOLMES I F"/AU OR "HOLMES I H"/AU OR "HOLMES I P"/AU
          OR "HOLMES IAN"/AU OR "HOLMES IAN B"/AU OR "HOLMES IAN D"/AU
          OR "HOLMES IAN F"/AU OR "HOLMES IAN H"/AU OR "HOLMES IAN
          HAMILTON"/AU OR "HOLMES IAN P"/AU OR "HOLMES IAN PETER"/AU)

L88      94 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("WATSON S"/AU OR "WATSON S
          P"/AU)

L89      8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("WATSON STEFAN"/AU OR
          "WATSON STEPHEN"/AU)

L90      224 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("WATSON STEPHEN P"/AU OR
          "WATSON STEPHEN PAUL"/AU OR "WATSON STEVE P"/AU)

L91      3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "WATSON STEVEN P"/AU
L92      4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L87 AND (L88 OR L89 OR L90 OR
          L91)

L93      6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L87 OR L88 OR L89 OR L90 OR
          L91 OR L92) AND (L75 OR L76 OR L77)

L94      6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L92 OR L93)
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=> d ibib abs 194 tot

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L94  ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:    2005:395279  HCAPLUS <<LOGINID::20061024>>
DOCUMENT NUMBER:     142:447210
TITLE:               Preparation of heterocyclic compounds for treating
                      conditions mediated by EP1 receptor and TxA2 receptor
INVENTOR(S):         Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David
                      Nigel; Lewell, Xiao Qing; Lorthioir, Olivier Eric;
                      McKeown, Stephen Carl; Scoccitti, Tiziana;
                      Watson, Stephen Paul
PATENT ASSIGNEE(S):  Glaxo Group Limited, UK
SOURCE:              PCT Int. Appl., 66 pp.
                      CODEN: PIXXD2
DOCUMENT TYPE:       Patent
LANGUAGE:            English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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BR 9609782	A	19990309	BR 1996-9782	19960711 <--
JP 11511124	T2	19990928	JP 1996-505989	19960711 <--
NZ 312950	A	20000128	NZ 1996-312950	19960711 <--
EE 3694	B1	20020415	EE 1997-362	19960711 <--
EE 200200384	A	20021015	EE 2002-384	19960711 <--
PL 188446	B1	20050228	PL 1996-324491	19960711 <--
IL 122783	A1	20050831	IL 1996-122783	19960711 <--
TW 570927	B	20040111	TW 1996-85108493	19960712 <--
FI 9800033	A	19980305	FI 1998-33	19980109 <--
NO 9800097	A	19980311	NO 1998-97	19980109 <--
BG 63876	B1	20030430	BG 1998-102241	19980210 <--
US 6239108	B1	20010529	US 1998-983391	19980810 <--
US 6596687	B1	20030722	US 2000-482296	20000113 <--
AU 758886	B2	20030403	AU 2000-36445	20000525 <--
US 6875743	B1	20050405	US 2000-724139	20001128 <--
PRIORITY APPLN. INFO.:			US 1995-498237	A 19950711 <--
			AU 1996-64894	A3 19960711 <--
			WO 1996-US11570	W 19960711 <--
			US 1998-983391	A1 19980810 <--

OTHER SOURCE(S): MARPAT 126:199840

AB The present invention relates to novel peptide derivs. that are useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical composition of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many **inflammatory** and **autoimmune** diseases. Thus, coupling of 4-(2-MeC<sub>6</sub>H<sub>4</sub>NHCONH)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CO<sub>2</sub>H (preparation given) with protected peptide H-Leu-Asp(OCH<sub>2</sub>Ph)-Val-OCH<sub>2</sub>Ph (preparation given), followed by catalytic hydrogenolysis, gave cell adhesion inhibitor peptide 4-(2-MeC<sub>6</sub>H<sub>4</sub>NHCONH)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CO-Leu-Asp-Val-OH (I). All 408 prepared peptide derivs., including I, inhibited VLA4-dependent adhesion to a bovine serum albumin conjugate with H-Cys-Tyr-Asp-Glu-Leu-Pro-Gln-Leu-Val-Thr-Leu-Pro-His-Pro-Asn-Leu-His-Gly-Pro-Glu-Ile-Leu-Asp-Val-Pro-Ser-Thr-OH, with IC<sub>50</sub> values of <1 mM.

IC ICM C07K014-78

ICS C07K005-02; C07K005-06; C07K005-08; C07K005-10; A61K038-04; A61K038-39

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

ST peptide prepn cell adhesion inhibitor; antiinflammatory drug peptide deriv prepn; **autoimmune** disease treatment peptide deriv prepnIT **Anti-inflammatory agents****Autoimmune disease**

(preparation of peptide derivs. as cell adhesion inhibitors)

IT 187736-24-9P	187736-25-0P	187736-26-1P	187736-27-2P	187736-28-3P
187736-29-4P	187736-30-7P	187736-31-8P	187736-32-9P	187736-33-0P
187736-34-1P	187736-35-2P	187736-36-3P	187736-37-4P	187736-38-5P
187736-39-6P	187736-40-9P	187736-41-0P	187736-42-1P	187736-43-2P
187736-44-3P	187736-45-4P	187736-46-5P	187736-47-6P	187736-48-7P
187736-49-8P	187736-50-1P	187736-51-2P	187736-52-3P	187736-53-4P
187736-54-5P	187736-55-6P	187736-56-7P	187736-57-8P	187736-58-9P
187736-59-0P	187736-60-3P	187736-61-4P	187736-62-5P	187736-63-6P
187736-64-7P	187736-65-8P	187736-66-9P	187736-67-0P	187736-68-1P
187736-69-2P	187736-70-5P	187736-71-6P	187736-72-7P	187736-73-8P
187736-74-9P	187736-75-0P	187736-76-1P	187736-77-2P	187736-78-3P
187736-79-4P	187736-80-7P	187736-81-8P	187736-82-9P	187736-83-0P
187736-84-1P	187736-85-2P	187736-86-3P	187736-87-4P	187736-88-5P

187736-89-6P	187736-90-9P	187736-91-0P	187736-92-1P	187736-93-2P
187736-94-3P	187736-95-4P	187736-96-5P	187736-97-6P	187736-98-7P
187736-99-8P	187737-00-4P	187737-01-5P	187737-02-6P	187737-03-7P
187737-04-8P	187737-05-9P	187737-06-0P	187737-07-1P	187737-08-2P
187737-09-3P	187737-10-6P	187737-11-7P	187737-12-8P	187737-13-9P
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187737-21-9P	187737-23-1P	187737-26-4P	187737-28-6P	
187737-31-1P	187737-33-3P	187737-34-4P	187737-35-5P	187737-36-6P
187737-38-8P	187737-39-9P	187737-40-2P	187737-41-3P	187737-42-4P
187737-43-5P	187737-44-6P	187737-45-7P	187737-46-8P	187737-47-9P
187737-48-0P	187737-49-1P	187737-50-4P	187737-51-5P	187737-52-6P
187737-53-7P	187737-54-8P	187737-55-9P	187737-56-0P	187737-57-1P
187737-59-3P	187737-60-6P	187737-61-7P	187737-62-8P	187737-63-9P
187737-64-0P	187737-65-1P	187737-66-2P	187737-67-3P	187737-68-4P
187737-69-5P	187737-70-8P	187737-71-9P	187737-72-0P	187737-73-1P
187737-74-2P	187737-75-3P	187737-76-4P	187737-77-5P	187737-78-6P
187737-79-7P	187737-80-0P	187737-81-1P	187737-82-2P	187737-83-3P
187737-84-4P	187737-85-5P	187737-86-6P	187737-87-7P	187737-88-8P
187737-89-9P	187737-90-2P	187737-91-3P	187737-92-4P	187737-93-5P
187737-94-6P	187737-95-7P	187737-96-8P	187737-97-9P	187737-98-0P
187737-99-1P	187738-00-7P	187738-01-8P	187738-02-9P	187738-03-0P
187738-04-1P	187738-05-2P	187738-06-3P	187738-07-4P	187738-08-5P

RL: **BAC** (*Biological activity or effector, except adverse*); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); **THU**  
(*Therapeutic use*); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of peptide derivs. as cell adhesion inhibitors)

IT 187737-21-9P 187737-23-1P

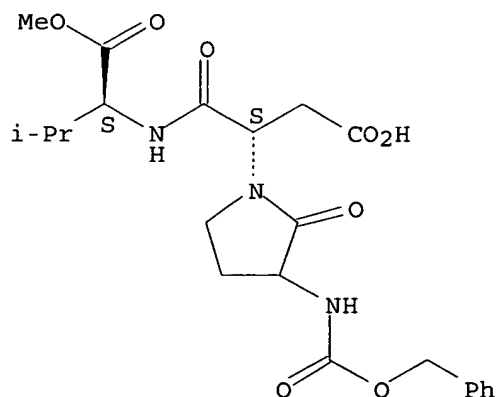
RL: **BAC** (*Biological activity or effector, except adverse*); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); **THU**  
(*Therapeutic use*); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of peptide derivs. as cell adhesion inhibitors)

RN 187737-21-9 HCAPLUS

CN 1-Pyrrolidinepropanoic acid,  $\beta$ -[[[1-(methoxycarbonyl)-2-methylpropyl]amino]carbonyl]-2-oxo-3-[[[(phenylmethoxy)carbonyl]amino]-, [1[S(S)]]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



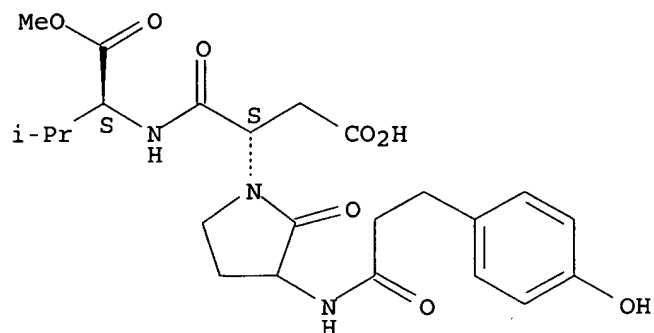
RN 187737-23-1 HCAPLUS



LAO 10/569812

CN 1-Pyrrolidinepropanoic acid, 3-[[[3-(4-hydroxyphenyl)-1-oxopropyl]amino]-  
β-[[[1-(methoxycarbonyl)-2-methylpropyl]amino]carbonyl]-2-oxo-,  
[1[S(S)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L96 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:214381 HCAPLUS <<LOGINID::20061024>>

DOCUMENT NUMBER: 106:214381

TITLE: [(Hydroxycarbamoyl)alkanoyl]amino acid derivatives as collagenase inhibitors

INVENTOR(S): Dickens, Jonathan Philip; Donald, David Keith; Kneen, Geoffrey; McKay, William Roger

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: Eur. Pat. Appl., 70 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

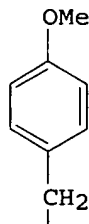
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 214639	A2	19870318	EP 1986-112386	19860908 <--
EP 214639	A3	19880217		
EP 214639	B1	19900613		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 4599361	A	19860708	US 1985-774491	19850910 <--
US 4743587	A	19880510	US 1986-880130	19860707 <--
AT 53573	E	19900615	AT 1986-112386	19860908 <--
PRIORITY APPLN. INFO.:			US 1985-774491	A 19850910 <--
			US 1986-880130	A 19860707 <--
			EP 1986-112386	A 19860908 <--

GI



HOHNCOXCONHCHR<sup>2</sup>CONHR<sup>1</sup> I R<sup>5</sup>COCH<sub>2</sub>CH(CH<sub>2</sub>CHMe<sub>2</sub>)CONHCHCONMe II

AB The title compds. [I; R<sup>1</sup> = alkyl; R<sup>2</sup> = alkyl, (substituted) PhCH<sub>2</sub>; X = CHR<sup>3</sup>CHR<sup>4</sup>, R<sup>3</sup>C:CR<sup>4</sup>; R<sup>3</sup> = H, alkyl, Ph, phenylalkyl; R<sup>4</sup> = H, alkyl, phenylalkyl, cycloalkyl, cycloalkylalkyl] were prepared as collagenase inhibitors. Me<sub>2</sub>CHCH<sub>2</sub>COCO<sub>2</sub>H was coupled with O-methyl-L-tyrosine methylamide using (COCl)<sub>2</sub> and DMF in CH<sub>2</sub>Cl<sub>2</sub>. The product ketone was olefinated with PhCH<sub>2</sub>O<sub>2</sub>CCH<sub>2</sub>P(O)(OMe)<sub>2</sub> followed by hydrogenation to give a mixture of 2 acyltyrosine derivs. II (R<sup>5</sup> = HO). These were converted to II (R<sup>5</sup> = HONH) (III) by successive treatment with EtO<sub>2</sub>CCl and H<sub>2</sub>NOH.HCl. One isomer of III inhibited human rheumatoid synovial collagenase with an IC<sub>50</sub> of 0.02 μM.

IC ICM C07C103-50

ICS C07C103-58; A61K037-64

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

IT **Inflammation** inhibitors

(antiarthritics, hydroxamic acid derivs.)

IT 104408-38-0P 104408-39-1P 104408-52-8P **104408-53-9P**  
 104408-54-0P 104408-55-1P 104408-59-5P 104408-60-8P 104408-61-9P  
 104485-71-4P 104485-72-5P 104485-73-6P 108383-51-3P 108383-52-4P  
 108383-53-5P 108383-54-6P 108383-55-7P 108383-56-8P 108383-57-9P  
 108383-58-0P 108383-59-1P 108383-60-4P 108383-61-5P 108383-62-6P  
 108383-63-7P 108383-64-8P 108383-65-9P 108383-66-0P 108383-67-1P  
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 108383-73-9P 108383-78-4P

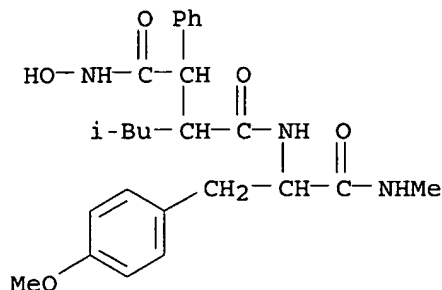
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 (Biological study, unclassified); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation of, as collagenase inhibitor)

IT **104408-53-9P**

RL: **BAC (Biological activity or effector, except adverse)**; BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation of, as collagenase inhibitor)

RN 104408-53-9 HCAPLUS

CN Butanediamide, N1-hydroxy-N4-[1-[(4-methoxyphenyl)methyl]-2-(methyamino)-2-oxoethyl]-3-(2-methylpropyl)-2-phenyl- (9CI) (CA INDEX NAME)



=> file beils

FILE 'BEILSTEIN' ENTERED AT 15:27:22 ON 24 OCT 2006

FILE BEILSTEIN ENTERED IN 1947/48 ON 11/06/1993  
COPYRIGHT (c) 2006 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften  
licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.

\*\*\* FILE CONTAINS 9,606,495 SUBSTANCES \*\*\*

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

```
*****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
*****
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**NEW**

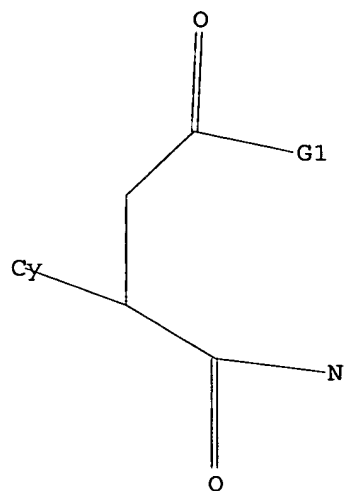
- \* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- \* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> d que 153

L42 STR

Beilstein

N<sup>1</sup>

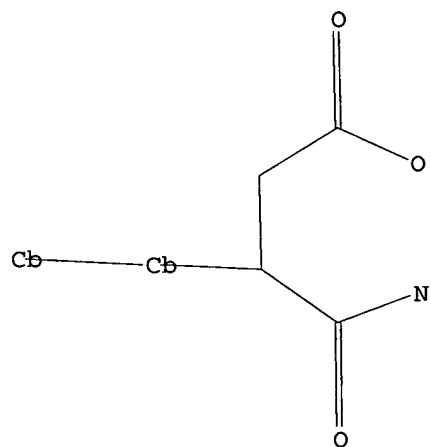


G1 O, [01]

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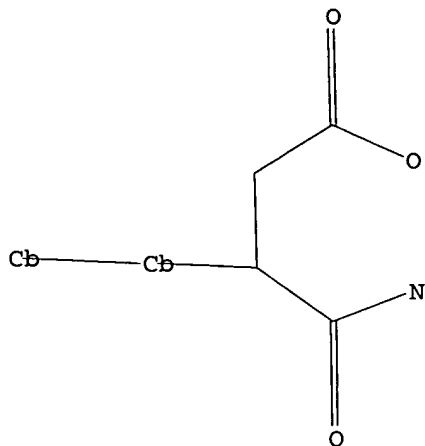
L47 STR



Structure attributes must be viewed using STN Express query preparation.

L49 9 SEA FILE=REGISTRY SUB=L44 SSS FUL L47

L51 STR



Structure attributes must be viewed using STN Express query preparation.

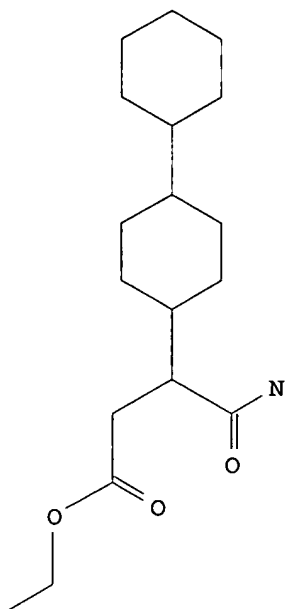
L52 1 SEA FILE=BEILSTEIN SSS FUL L51

L53 1 SEA FILE=BEILSTEIN ABB=ON PLU=ON L52 NOT L49

=> d ide allref l53 tot

L53 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	3390730
Chemical Name (CN):	3-bicyclohexyl-4-yl-succinamic acid ethyl ester
Autonom Name (AUN):	3-bicyclohexyl-4-yl-succinamic acid ethyl ester
Molec. Formula (MF):	C18 H31 N O3
Molecular Weight (MW):	309.45
Lawson Number (LN):	11110, 298
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	3040392
Tautomer ID (TAUTID):	3247640
Beilstein Citation (BSO):	3-09-00-04036
Entry Date (DED):	1990/02/15
Update Date (DUPD):	1992/06/02



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:  
ALLREF

1. Fieser et al., J.Amer.Chem.Soc., CODEN: JACSAT, 70, <1948>, 3177

=> file marpat

FILE 'MARPAT' ENTERED AT 15:27:43 ON 24 OCT 2006

LAO 10/569812

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FILE CONTENT: 1961-PRESENT VOL 145 ISS 17 (20061020/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

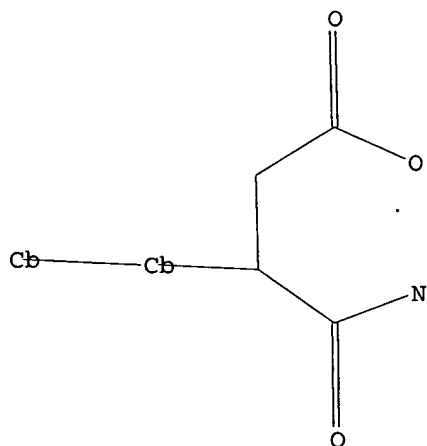
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	7108861	19	SEP	2006
DE	102005009517	31	AUG	2006
EP	1696501	30	AUG	2006
JP	2006228955	31	AUG	2006
WO	2006091896	31	AUG	2006
GB	2423301	23	AUG	2006
FR	2882363	25	AUG	2006
RU	2282647	27	AUG	2006
CA	2547866	22	AUG	2006

Expanded G-group definition display now available.

=> d que 171

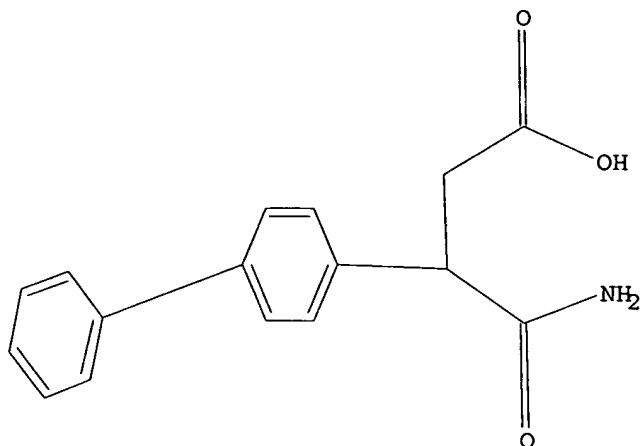
L47 STR



Structure attributes must be viewed using STN Express query preparation.

L55 348 SEA FILE=MARPAT SSS FUL L47

L68 STR



Structure attributes must be viewed using STN Express query preparation.

L70 103 SEA FILE=MARPAT SUB=L55 SSS FUL L68  
L71 101 SEA FILE=MARPAT ABB=ON PLU=ON L70/COM

=> d ibib abs qhit l71 81-101

L71 ANSWER 81 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 124:232069 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of arylsulfonylaminomethylhydroxamic acids  
and related compounds as matrix metalloproteinase  
inhibitors.  
INVENTOR(S): Miller, Andrew; Whittaker, Mark; Beckett, Raymond Paul  
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Ltd., UK  
SOURCE: PCT Int. Appl., 43 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535276	A1	19951228	WO 1995-GB1465	19950622
W: AU, BR, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2193691	AA	19951228	CA 1995-2193691	19950622
CA 2193692	AA	19951228	CA 1995-2193692	19950622
AU 9527466	A1	19960115	AU 1995-27466	19950622
AU 690703	B2	19980430		
GB 2303850	A1	19970305	GB 1996-23675	19950622
GB 2303850	B2	19980610		
EP 766665	A2	19970409	EP 1995-922639	19950622
EP 766665	B1	19990728		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1151157	A	19970604	CN 1995-193714	19950622
JP 10507158	T2	19980714	JP 1995-501848	19950622
AT 182581	E	19990815	AT 1995-922639	19950622



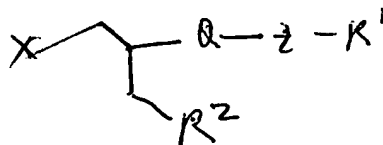
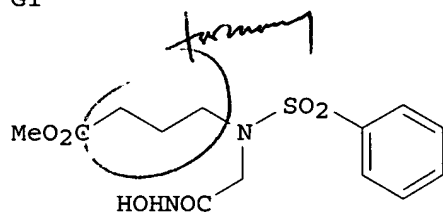
LAO 10/569812

ES 2133785	T3	19990916	ES 1995-922639	19950622
ES 2145913	T3	20000716	ES 1995-922638	19950622
PT 766664	T	20000831	PT 1995-922638	19950622
FI 9605153	A	19961220	FI 1996-5153	19961220
US 6022898	A	20000208	US 1996-765146	19961223
US 6124332	A	20000926	US 1999-243130	19990203
US 6124329	A	20000926	US 1999-343087	19990630

PRIORITY APPLN. INFO.:

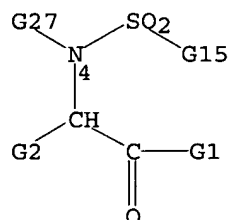
GB 1994-12514	19940622
GB 1995-6107	19950324
WO 1995-GB1465	19950622

GI



AB XR1CHNR2(YZ) [X = CO<sub>2</sub>H, CONHOH; R<sub>1</sub> = (protected) amino acid side chain; R<sub>2</sub> = Z1QW; Z<sub>1</sub> = H, (substituted) aryl, heteroaryl, heterocyclyl, cycloalkyl, cycloalkenyl; QW = bond; or Q = O, S; W = (O-, S- or imino-interrupted) (substituted) alkylene, alkenylene; or Q = bond; Y = SO<sub>2</sub>; Z = (substituted) aryl, heteroaryl], were prepared as metalloproteinase inhibitors (no data). I and 16 similar compds. were prepared

#### MSTR 1



G3 = biphenyl  
G4 = alkylene <containing 1-8 C>  
(opt. substd. by 1 or more G13)  
G13 = CO<sub>2</sub>H / CONH<sub>2</sub>  
G27 = 5

G4—G3  
5 10

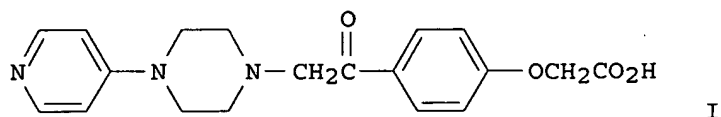
Derivative: or salts, hydrates, or solvates  
Patent location: claim 1

L71 ANSWER 82 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 123:227994 MARPAT <<LOGINID::20061024>>  
 TITLE: Heterocyclic derivatives as platelet aggregation inhibitors  
 INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney  
 PATENT ASSIGNEE(S): Zeneca Ltd., UK  
 SOURCE: PCT Int. Appl., 145 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

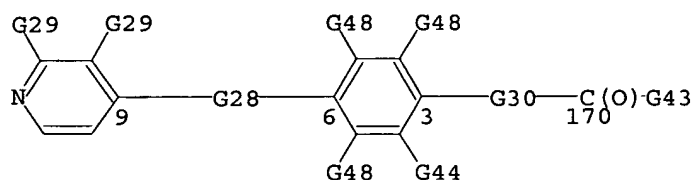
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422834	A1	19941013	WO 1994-GB647	19940328
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CA 2156070	AA	19941013	CA 1994-2156070	19940328
AU 9462889	A1	19941024	AU 1994-62889	19940328
AU 692438	B2	19980611		
EP 691959	A1	19960117	EP 1994-910494	19940328
EP 691959	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9406613	A	19960206	BR 1994-6613	19940328
HU 72088	A2	19960328	HU 1995-2290	19940328
CN 1120334	A	19960410	CN 1994-191664	19940328
JP 08508291	T2	19960903	JP 1994-521810	19940328
EP 825184	A1	19980225	EP 1997-117909	19940328
EP 825184	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 168678	E	19980815	AT 1994-910494	19940328
ES 2119184	T3	19981001	ES 1994-910494	19940328
RU 2142944	C1	19991220	RU 1995-122602	19940328
IL 109144	A1	20000229	IL 1994-109144	19940328
AT 202345	E	20010715	AT 1997-117909	19940328
ES 2159798	T3	20011016	ES 1997-117909	19940328
PT 825184	T	20011130	PT 1997-117909	19940328
FI 9504616	A	19950928	FI 1995-4616	19950928
NO 9503837	A	19950928	NO 1995-3837	19950928
US 5750754	A	19980512	US 1996-658097	19960604
GR 3036640	T3	20011231	GR 2001-401498	20010918
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			GB 1993-25605	19931215
			GB 1993-6451	19930329
			GB 1993-25610	19931215
			EP 1994-910494	19940328
			WO 1994-GB647	19940328
			GB 1995-18188	19950907

GI



AB Pyridine derivs. and metabolically labile esters and amides thereof were disclosed as pharmaceuticals. The compds. are useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa. A specifically claimed compound is 4-[2-[4-(4-pyridinyl)-1-piperazinyl]acetyl]phenoxyacetic acid (I).

**MSTR 1**



G13 = 45-8 46-6

G14-G15  
45 46

G15 = phenylene  
G28 = 8-9 7-6

G1-G13  
8 7

G30 = alkylene <containing 1-4 C> (opt. substd. by G37)

G37 = CO<sub>2</sub>H

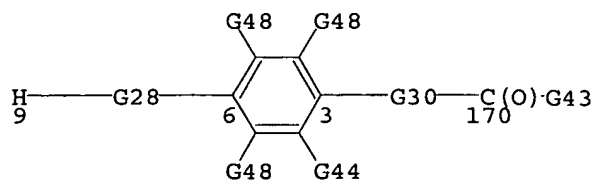
G43 = NH<sub>2</sub> (opt. substd.)

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

Note: substitution is restricted

**MSTR 4**



LAO 10/569812

G13 = 45-8 46-6

~~G14-G15~~  
45 46

G15 = phenylene

G28 = 8-9 7-6

~~G1-G13~~  
8 7

G30 = alkylene <containing 1-4 C> (opt. substd. by G37)

G37 = CO<sub>2</sub>H

G43 = NH<sub>2</sub> (opt. substd.)

Derivative: or acid addition salts

Patent location: claim 17

Note: substitution is restricted

L71 ANSWER 83 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 122:315098 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of peptide analogs as fibrinogen receptor antagonists

INVENTOR(S): Egbertson, Melissa S.; Turchi, Laura M.; Hartman, George D.; Halczenko, Wasyl; Whitman, David B.; Perkins, James J.; Krause, Amy E.; Ihle, Nathan; Claremon, David Alan; et al.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

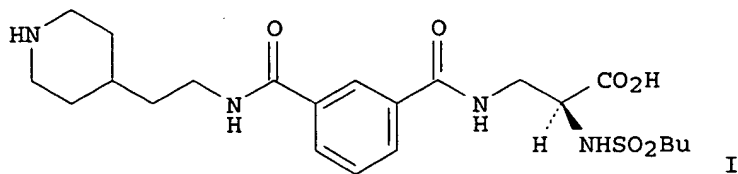
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

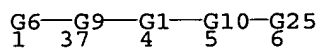
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9412181	A1	19940609	WO 1993-US11623	19931129
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9458268	A1	19940622	AU 1994-58268	19931129
AU 675689	B2	19970213		
EP 673247	A1	19950927	EP 1994-904069	19931129
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JP 08504194	T2	19960507	JP 1993-513464	19931129
US 5648368	A	19970715	US 1995-448347	19950601
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			WO 1993-US11623	19931129

GI

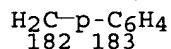


AB X-Y-Z-Ar-A-B [X = NR<sub>1</sub>R<sub>2</sub>, NR<sub>1</sub>C(:NR<sub>2</sub>)R<sub>1</sub>, (substituted) 4-10 membered mono- or polycyclic (aromatic) ring, etc.; R<sub>1</sub>-R<sub>3</sub> = H, alkyl, cycloalkyl, arylalkyl, aminoalkyl, hydroxyalkyl, etc.; Y = alkylene, cycloalkylene, Y<sub>1</sub>NR<sub>3</sub>COY<sub>1</sub>, etc.; Y<sub>1</sub> = C0-8 alkyl; Z, A = (CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>O(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>m</sub>NR<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>m</sub>SO<sub>2</sub>(CH<sub>2</sub>)<sub>n</sub>, etc.; Ar = (substituted) 6-membered monocyclic aromatic ring containing 0-4 N atoms; B = CR<sub>6</sub>R<sub>7</sub>COR<sub>12</sub>, CR<sub>8</sub>R<sub>9</sub>CR<sub>10</sub>R<sub>11</sub>(CH<sub>2</sub>)<sub>p</sub>COR<sub>12</sub>; R<sub>7</sub>-R<sub>11</sub> = H, F, hydroxyalkyl, carboxyalkyl, alkoxy, cycloalkyl, dialkylaminoalkyl, arylalkylaminosulfonylalkyl, etc.; p = 0, 1; R<sub>12</sub> = OH, alkoxy, alkylcarbonyloxyalkoxy, amino acid residue, etc.; with provisos], were prepared Title compound I was prepared by solution phase coupling methods. Preferred title compds. inhibited platelet aggregation with IC<sub>50</sub> = 0.009-170 μM.

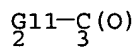
**MSTR 1A**



G1 = phenylene  
G9 = 182-1 183-4



G10 = 2-4 3-6



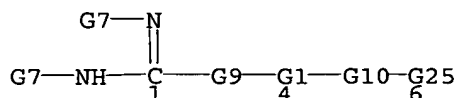
G11 = carbon chain <0 or more double bonds,  
0 or more triple bonds> (opt. substd. by G12)

G12 = CONH<sub>2</sub>

G25 = OH

Derivative: and pharmaceutically acceptable salts  
Patent location: claim 1

**MSTR 1B**



G1 = phenylene  
G9 = p-C<sub>6</sub>H<sub>4</sub>  
G10 = 2-4 3-6

$$\text{G11-C} \begin{smallmatrix} \text{(O)} \\ \text{2} \quad \text{3} \end{smallmatrix}$$

G11 = carbon chain <0 or more double bonds,  
0 or more triple bonds> (opt. substd. by G12)  
G12 = CONH2  
G25 = OH  
Derivative: and pharmaceutically acceptable salts  
Patent location: claim 1

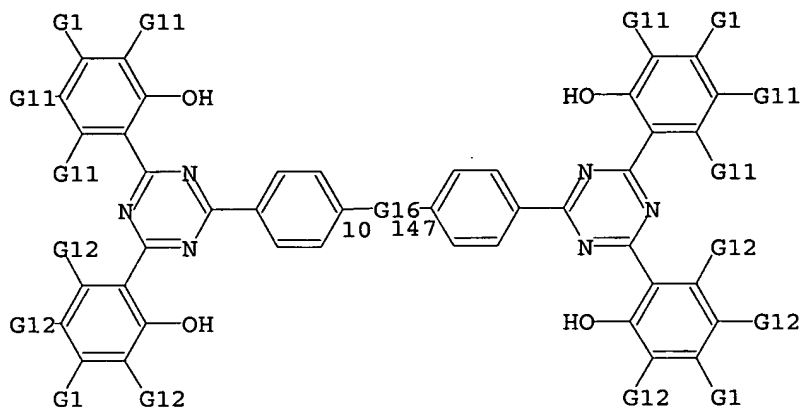
L71 ANSWER 84 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 122:268205 MARPAT <<LOGINID::20061024>>  
TITLE: Electrocoat-base coat-clear coat finishes stabilized  
with S-triazine UV absorbers  
INVENTOR(S): Stevenson, Tyler A.; Holt, Mark S.; Ravichandran,  
Ramanathan  
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA  
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 12,699,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 5354794	A	19941011	US 1994-189627	19940201
CA 2152169	AA	19940818	CA 1994-2152169	19940202
CA 2152169	C	20050517		
ES 2215996	T3	20041016	ES 1994-907964	19940202
US 5476937	A	19951219	US 1994-268093	19940628
JP 2004352728	A2	20041216	JP 2004-243626	20040824
PRIORITY APPLN. INFO.:			US 1993-12699	19930203
			US 1994-189627	19940201
			JP 1994-518217	19940202

AB A polymer film composition comprises (a) an electro coat primer in adhesion to a metal substrate; (b) a base or color coat that is in adhesion to the electro coat and which comprises a film-forming binder and an organic pigment or an inorg. pigment or mixture thereof; (c) a clear coat that is in adhesion to the base coat and which comprises a film-forming binder; and (d) an effective stabilizing amount of  $\geq 1$  tris-aryl-s-triazine UV absorber contained in either the base coat or the clear coat or in both base coat and clear coat. The tris-aryl-s-triazine UV absorbers provide excellent light stability protection to electro coat, base coat or clear

coat finishes. A typical UV absorber was 2,4,6-tris[2-hydroxy 4-(2-hydroxy-3-nonyloxypropoxy)phenyl]-s-triazine and was used in a high solids thermoset acrylic coating.

**MSTR 1**



G1 = 28

$\text{O}-\text{G2}$   
28

G2 = alkyl <containing 1-24 C>  
(opt. substd. by (1-8) G3)

G3 = biphenyl (opt. substd. by (1-3) G4) / 38

$\text{C}(\text{O})-\text{G15}-\text{G7}$   
38

G15 = 0 / 36

$\text{N}-\text{G7}$   
36

Patent location:

claim 3

Note:

alkyl group in G2 may be additionally interrupted

Note:

G21's are the same

L71 ANSWER 85 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 122:240447 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of peptideamide analogs as tachykinin antagonists.

INVENTOR(S): Pieper, Helmut; Austel, Volkhard; Jung, Birgit; Buerger, Erich; Entzeroth, Michael

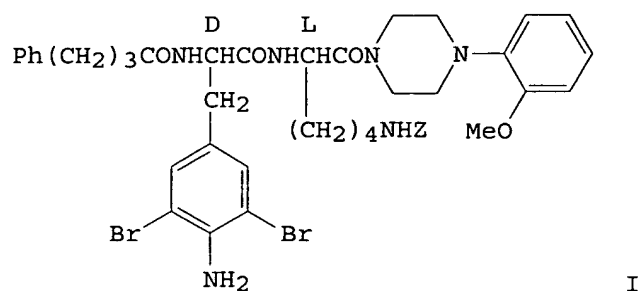
PATENT ASSIGNEE(S): Karl Thomas GmbH, Germany

LAO 10/569812

SOURCE: Ger. Offen., 101 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4243858	A1	19940630	DE 1992-4243858	19921223
PRIORITY APPLN. INFO.:			DE 1992-4243858	19921223

GI



AB R4R5NACONHCHR3CXNR1R2 [A = 1,2-cyclopentylene, CHR6; R6 = H, (substituted) alkyl, Ph; R1 = H, (Ph- or pyridyl-substituted) alkyl; R2 = H, (amino- or guanidino-substituted) Ph, pyridyl, (cyclohexyl-, Ph-, or pyridyl-substituted) alkyl, etc.; R1R2N = (substituted) piperazinyl; R3 = H, (phenyl)alkyl, guanidino- or amino-substituted alkyl, aminocarbonylalkyl, etc.; R4 = H, (phenyl)alkyl; R5 = protecting group, (substituted) alkyl, alkanoyl, alkoxycarbonyl, alkylaminocarbonyl, PhCO, naphthylcarbonyl, biphenylcarbonyl, PhSO2, etc.; X = (H, H), O, S; the C atom bearing the R3 substituent is L; the C atom bearing the R6 substituent is D or L], were prepared Thus, title compound I (prepared by solution phase methods) showed IC50 = 2 nM for neurokinin-1 receptor binding with IM-9 cells. Tablets were prepared containing I.

## MSTR 2

G1—G6

G1 = alkylcarbonyl <containing 1-9 C>  
(opt. substd. by G2)  
G2 = biphenyl / CONH2  
G6 = OH

Patent location: claim 11  
Note: substitution is restricted



LAO 10/569812

L71 ANSWER 86 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 122:85335 MARPAT <<LOGINID::20061024>>  
TITLE: Fluorine-containing aromatic hydrocarbons for  
lubricating oils  
INVENTOR(S): Sanechika, Kenichi; Ikeda, Chiho; Ikeda, Masanori  
PATENT ASSIGNEE(S): Asahi Chemical Ind, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06287578	A2	19941011	JP 1993-101804	19930406
PRIORITY APPLN. INFO.:			JP 1993-101804	19930406

AB The oils comprise aromatic hydrocarbons of formula RR<sub>1</sub>n, in which (R = C<sub>6</sub>-60 arene; n = 1-4; R<sub>1</sub> = C<sub>1</sub>-25 (partially stabilized) fluorohydrocarbyl having an atomic ratio of F/C ≥ 0.6). The oils show compatibility with fluoroalkane refrigerants.

**MSTR 1A**

G1—G2  
2

G1 = 238

G7—G2  
238 239

G2 = hydrocarbyl <containing 1-25 C>  
(substd. by 1 or more G4)  
G4 = CONH<sub>2</sub> / CO<sub>2</sub>H  
G7 = 240-2 242-239

G8—G10—G9  
240 242

G8 = phenylene  
G9 = phenylene  
G10 = bond

Patent location: claim 1

L71 ANSWER 87 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 122:82078 MARPAT <<LOGINID::20061024>>  
TITLE: Cyclic peptide antifungal agents and process for  
preparation thereof  
INVENTOR(S): Burkhardt, Frederick Joseph; Debono, Manuel; Nissen,  
Jeffrey Scott; Turner, William Wilson, Jr.

LAO 10/569812

PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
SOURCE: Eur. Pat. Appl., 56 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

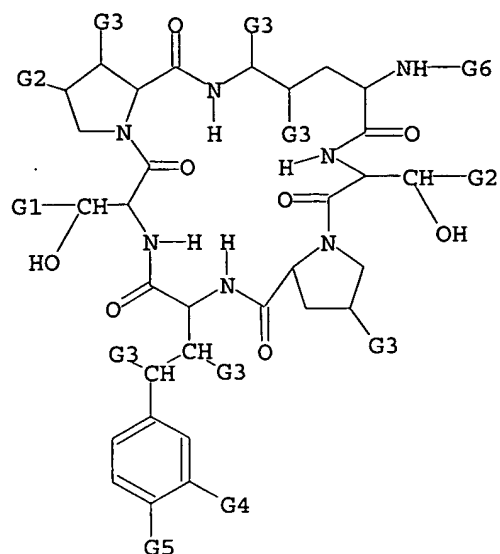
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 561639	A1	19930922	EP 1993-302064	19930318
EP 561639	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2091663	AA	19930920	CA 1993-2091663	19930315
ZA 9301830	A	19940915	ZA 1993-1830	19930315
IL 105048	A1	20010614	IL 1993-105048	19930315
NZ 299314	A	20010928	NZ 1993-299314	19930315
CZ 288974	B6	20011017	CZ 1993-416	19930315
IL 122315	A1	20020310	IL 1993-122315	19930315
NZ 512085	A	20030829	NZ 1993-512085	19930315
NO 9300948	A	19930920	NO 1993-948	19930316
BR 9301232	A	19930921	BR 1993-1232	19930318
HU 63637	A2	19930928	HU 1993-785	19930318
CN 1080926	A	19940119	CN 1993-103587	19930318
CN 1036715	B	19971217		
JP 06056892	A2	19940301	JP 1993-58529	19930318
JP 3519754	B2	20040419		
RU 2129562	C1	19990427	RU 1993-4787	19930318
AT 217635	E	20020615	AT 1993-302064	19930318
JP 2002226500	A2	20020814	JP 2002-3969	19930318
JP 3520071	B2	20040419		
PT 561639	T	20021031	PT 1993-302064	19930318
ES 2174843	T3	20021116	ES 1993-302064	19930318
AU 9335341	A1	19930923	AU 1993-35341	19930319
AU 9665529	A1	19961205	AU 1996-65529	19960909
AU 689391	B2	19980326		
JP 2004115540	A2	20040415	JP 2003-412638	20031210
PRIORITY APPLN. INFO.:			US 1992-854117	19920319
			US 1992-992390	19921216
			IL 1993-105048	19930315
			JP 1993-58529	19930318

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. (I; R, R11 = independently H, OH; R1 = H, OH, OSO<sub>3</sub>H; R2 = substituted PhCO, biphenyl, naphthoyl, etc.; R7 = R1, phosphonoxy; R8 = H, Me, H<sub>2</sub>NCOCH<sub>2</sub>; R9, R10 = Me, H), were prepared. Thus, I (R = R7 = R11 = OH, R1 = H, R2 = Q1, R8 = R9 = R10 = Me), prepared by enzymic deacylation and then reacylation of echinocandin B, showed ED<sub>50</sub> = 0.84 mg/mL for controlling systemic fungal infections in mice. Several I were effective against *Pneumocystis carinii* in immunosuppressed rats. I in general exhibit oral bioavailability.

MSTR 1



G6 = 85

$\overset{85}{C}(O)-\overset{89}{G12}-\overset{89}{G15}$

G12 = 86-85 88-89

$\overset{86}{G37}-\overset{88}{G13}-\overset{88}{G14}$

G13 = bond  
 G14 = phenylene  
 G15 = alkynyl <containing 2-12 C>  
 (opt. substd. by (1-2) G16)  
 G16 = CO<sub>2</sub>H / CONH<sub>2</sub>  
 G37 = phenylene

Derivative: or pharmaceutically acceptable non-toxic salts  
 Patent location: claim 2

L71 ANSWER 88 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 120:217717 MARPAT <<LOGINID::20061024>>  
 TITLE: Quinazoline inhibitors of HIV reverse transcriptase  
 INVENTOR(S): Lyle, Terry A.; Tucker, Thomas J.; Wiscount, Catherine M.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: Eur. Pat. Appl., 35 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

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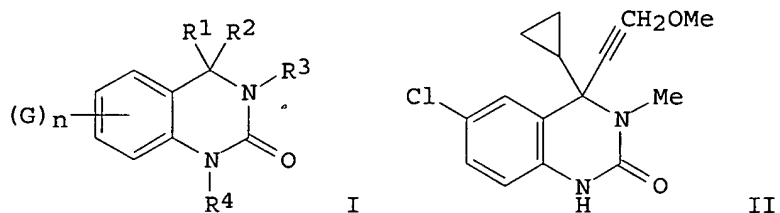
L71

88 of 101

## PATENT INFORMATION:

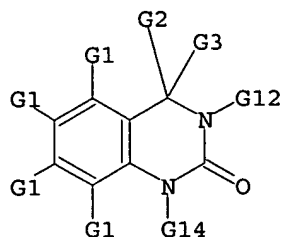
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 569083	A1	19931110	EP 1993-201232	19930429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
WO 9322292	A1	19931111	WO 1993-US3975	19930428
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342204	A1	19931129	AU 1993-42204	19930428
EP 639184	A1	19950222	EP 1993-910860	19930428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71401	A2	19951128	HU 1994-3187	19930428
CA 2095194	AA	19931108	CA 1993-2095194	19930429
AU 9338413	A1	19931111	AU 1993-38413	19930506
CN 1085550	A	19940420	CN 1993-107074	19930506
ZA 9303179	A	19941107	ZA 1993-3179	19930506
JP 06009578	A2	19940118	JP 1993-107015	19930507
JP 08013805	B4	19960214		
FI 9405199	A	19941104	FI 1994-5199	19941104
NO 9404208	A	19950106	NO 1994-4208	19941104
PRIORITY APPLN. INFO.:			US 1992-880119	19920507
			US 1992-991164	19921216
			WO 1993-US3975	19930428

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AB The title compds. I [G = halogen, NO<sub>2</sub>, CN; R<sup>1</sup> = C3-5 cycloalkyl, C2-5 alkynyl, C2-4 alkenyl, CN; R<sup>2</sup> = substituted C2-5 alkynyl, substituted C2-5 alkenyl; R<sup>3</sup> = H, CN, NH<sub>2</sub>, HO, (un)substituted C1-4 alkyl, (un)substituted C2-4 alkenyl, (un)substituted C2-4 alkynyl; R<sup>4</sup> = H, C1-4 alkyl, C1-5 alkylcarbonyl, (un)substituted benzoyl, etc.; n = 0-4], useful in the treatment of AIDS and AIDS-related complex via the inhibition of HIV reverse transcriptase, are prepared. Thus, quinazoline II was prepared (m.p. 119-121°) and demonstrated 50% HIV reverse transcriptase inhibitory concentration 13 mM.

MSTR 1



G3 = alkynyl <containing 2-5 C>  
 (opt. substd. by 1 or more G4)  
 G4 = 24 / biphenyl

$\text{C}(\text{O})\text{G9}$   
 24

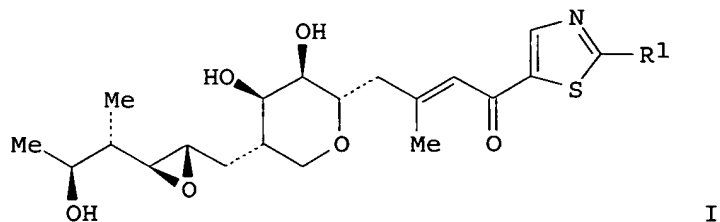
G9 = OH / NH<sub>2</sub>

Derivative: or pharmaceutically acceptable salts  
 Patent location: claim 1  
 Note: substitution is restricted

L71 ANSWER 89 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 120:191426 MARPAT <<LOGINID::20061024>>  
 TITLE: Preparation of antibacterial 1-normon-2-yl thiazolyl  
 ketones  
 INVENTOR(S): Forrest, Andrew Keith; Pons, Jean Esther; O'Hanlon,  
 Peter John  
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK  
 SOURCE: PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

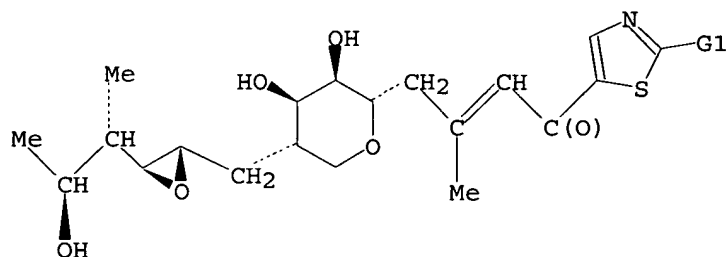
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315072	A1	19930805	WO 1993-GB126	19930120
W: AT, AU, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, RO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9333613	A1	19930901	AU 1993-33613	19930120
EP 623130	A1	19941109	EP 1993-902425	19930120
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07503244	T2	19950406	JP 1993-513016	19930120
CN 1088926	A	19940706	CN 1993-102064	19930121
ZA 9300481	A	19931116	ZA 1993-481	19930122
PRIORITY APPLN. INFO.:			GB 1992-1506	19920124
			GB 1992-15889	19920725
			WO 1993-GB126	19930120

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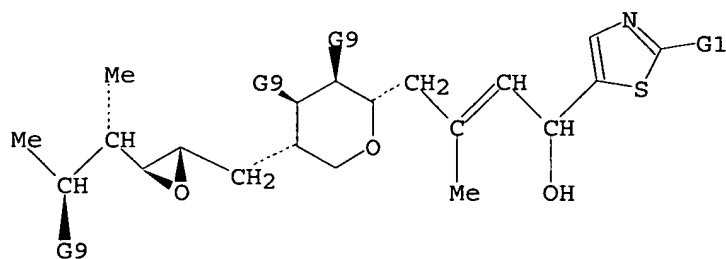
AB Title compds. [I; R1 = (substituted) alkoxy] were prepared Thus, 2-methoxythiazole in THF at -78° was treated with BuLi and then with N-methoxy-N-methyl-6,7,13-O-tris-(trimethylsilyl)monamide to give a residue which was stirred with HCl in THF to give I (R1 = OMe). I inhibited H. influenzae Q1, B. catarrhalis 1502, S. pyogenes CN10, S. pneumoniae PU7, and S. aureus Oxford with MIC's of 0.06-4 mg/mL.

**MSTR 1**



G1 = alkoxy <containing 1-10 C>  
 (opt. substd. by 1 or more G2)  
 G2 = CO2H / CONH2 / Ph (opt. substd. by (1-5) G4)  
 G4 = Ph  
 Patent location: claim 1

**MSTR 3**



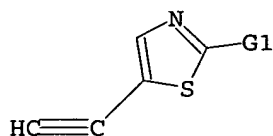
G1 = alkoxy <containing 1-10 C>  
 (opt. substd. by 1 or more G2)  
 G2 = CO2H / CONH2 / Ph (opt. substd. by (1-5) G4)

LAO 10/569812

G4 = Ph

Patent location: claim 8

**MSTR 5**



G1 = alkoxy <containing 1-10 C>  
(opt. substd. by 1 or more G2)

G2 = CO2H / CONH2 / Ph (opt. substd. by (1-5) G4)

G4 = Ph

Patent location: claim 8

L71 ANSWER 90 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:107042 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of pyrimidocycloalkanes as angiotensin II antagonists and antihyperlipidemics.

INVENTOR(S): Primeau, John Laurent; Garrick, Lloyd Michael; Ocain, Timothy Donald; Soll, Richard Michael; Dollings, Paul Jeffrey

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

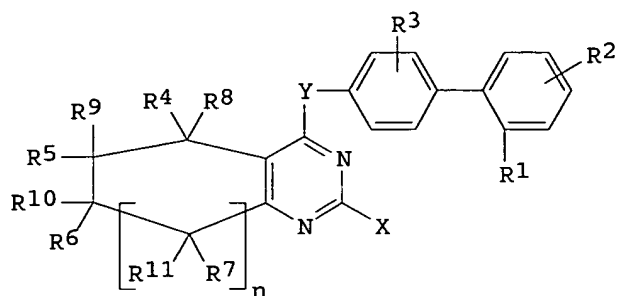
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

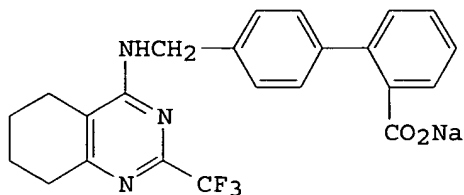
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9308171	A1	19930429	WO 1992-US8992	19921023
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
US 5234936	A	19930810	US 1991-782017	19911024
AU 9331228	A1	19930521	AU 1993-31228	19921023
EP 610439	A1	19940817	EP 1992-925019	19921023
EP 610439	B1	19991215		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
AT 187717	E	20000115	AT 1992-925019	19921023
PRIORITY APPLN. INFO.:				
			US 1991-782017	19911024
			WO 1992-US8992	19921023

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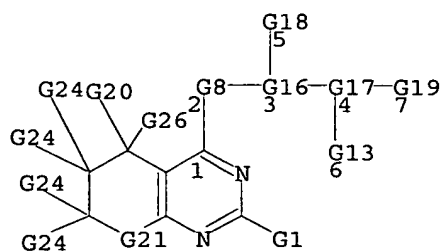
I



II

AB Title compds. [I; X = H, NR<sub>12</sub>R<sub>13</sub>, OR<sub>14</sub>, cyano, F, Cl, iodo, Br, (perfluoro)alkyl, hydroxyalkyl, alkoxyalkyl, (CH)<sub>n</sub>CO<sub>2</sub>R<sub>14</sub>, (CH<sub>2</sub>)<sub>n</sub>CONR<sub>12</sub>R<sub>13</sub>; Y = NR<sub>15</sub>, NR<sub>18</sub>CR<sub>16</sub>R<sub>17</sub>, CR<sub>16</sub>R<sub>17</sub>NR<sub>15</sub>; R<sub>1</sub> = 5-tetrazolyl, CO<sub>2</sub>R<sub>14</sub>, SO<sub>3</sub>H, NHSO<sub>2</sub>Me, NHSO<sub>2</sub>CF<sub>3</sub>; R<sub>2</sub>, R<sub>3</sub> = X, aralkyl, NO<sub>2</sub>, SO<sub>2</sub>R<sub>19</sub>; R<sub>4</sub>-R<sub>11</sub> = H, F, alkyl, alkoxyalkyl, OCOR<sub>14</sub>, hydroxylalkyl, perfluoroalkyl, aralkyl, aryl, cyano, NO<sub>2</sub>, SO<sub>2</sub>R<sub>19</sub>, (CH<sub>2</sub>)<sub>n</sub>(O<sub>2</sub>R<sub>14</sub>, (CH<sub>2</sub>)<sub>n</sub>CONR<sub>12</sub>R<sub>13</sub>, OH, OR<sub>14</sub>, NR<sub>12</sub>R<sub>13</sub>, or any 2 geminal groups can = O, CH<sub>2</sub>; R<sub>12</sub>, R<sub>13</sub> = H, alkyl, aralkyl; R<sub>14</sub> = H, alkyl, aralkyl, alkoxyalkyl; R<sub>5</sub> = H, alkyl, (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>14</sub>, alkoxyalkyl, aralkyl, (CH<sub>2</sub>)<sub>n</sub>CONR<sub>12</sub>R<sub>13</sub>, OR<sub>14</sub>, perfluoroalkyl, hydroxyalkyl, COR<sub>14</sub>, CONR<sub>12</sub>R<sub>13</sub>; R<sub>16</sub>, R<sub>17</sub> = H, alkyl, alkoxyalkyl, hydroxyalkyl, perfluoroalkyl, aralkyl, cyano, NO<sub>2</sub>, SO<sub>2</sub>R<sub>19</sub>, (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>14</sub>, (CH<sub>2</sub>)<sub>n</sub>CONR<sub>12</sub>R<sub>13</sub>; R<sub>18</sub> = H, alkoxyalkyl, hydroxyalkyl, perfluoroalkyl, aralkyl, OR<sub>14</sub>, (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>14</sub>, (CH<sub>2</sub>)<sub>n</sub>CONR<sub>12</sub>R<sub>13</sub>, alkyl, COR<sub>14</sub>, CONR<sub>12</sub>R<sub>13</sub>; R<sub>19</sub> = (ar)alkyl; n = 0-3; m = 1-5], were prepared. Thus, 2-ethoxycarbonylcyclohexanone was cyclocondensed with trifluoroacetamidine to give 57% 5,6,7,8-tetrahydro-2-trifluoromethyl-4-quinazolone, which was 4-chlorinated with POCl<sub>3</sub> in dimethylaniline at reflux. The product was condensed with 4'-aminomethyl-1,1'-biphenyl-2-ylcarboxylic acid using NaOAc in refluxing BuOH to give title compound II. A specific I at 3 mg/kg id reduced angiotensin II-dependent blood pressure in rats by 45% 1/2 h after administration. I at 100-200 mg/kg orally in rats typically gave a 50% drop in total cholesterol.

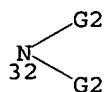
## MSTR 1





LJAO 10/569812

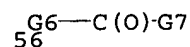
G6 = (0-3) CH2  
G7 = OH / 32



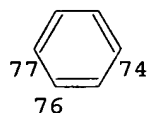
G8 = 44-1 45-3 / 46-1 47-3



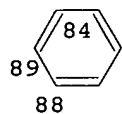
G11 = 56



G16 = 77-2 74-4 76-5



G17 = 89-3 88-6 84-7



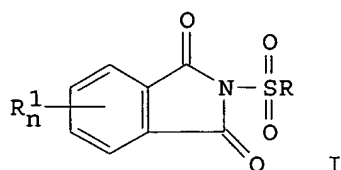
G27 = alkylidene (opt. substd. by G11)  
Derivative: and pharmaceutically acceptable salts  
Patent location: claim 1  
Note: additional ring derivatives allowed

L71 ANSWER 91 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 119:234022 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of sulfonylphthalimides as inhibitors of  
platelet-derived growth factor.  
INVENTOR(S): Clader, John W.; Davis, Harry R.; Mullins, Deborra;  
Rosenblum, Stuart; Weinstein, Jay  
PATENT ASSIGNEE(S): Schering Corp., USA  
SOURCE: U.S., 22 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English

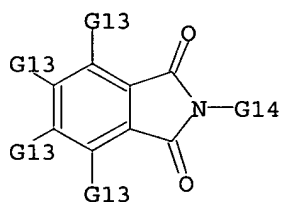
FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5238950	A	19930824	US 1991-808997	19911217
PRIORITY APPLN. INFO.: GI			US 1991-808997	19911217



AB The sulfonylphthalimides I [R = (un)substituted Ph or naphthyl, etc., R1 = NO2, NH2, BzNH, etc., n = 0,1] and related compds. are prepared as platelet-derived growth factor (PDGF) inhibitors, useful for the treatment of atherosclerosis, cancer, retinal detachment, etc. (no data). 2-Methyl-5-chlorobenzenesulfonamide (preparation given) was refluxed with phthaloyl chloride, in toluene, to give I (R = 2-methyl-5-chlorophenyl, R1n= H) (II). II inhibited the binding of PDGF to PDGF receptors on human fibroblasts.

**MSTR 1A**

G1 = Ph (opt. substd. by (1-5) G2)  
 G2 = Ph  
 G14 = 13

O<sub>2</sub>S—G16—G1  
 13

G16 = alkylene (opt. substd. by (1-6) G20)  
 G20 = CO<sub>2</sub>H / CONH<sub>2</sub>

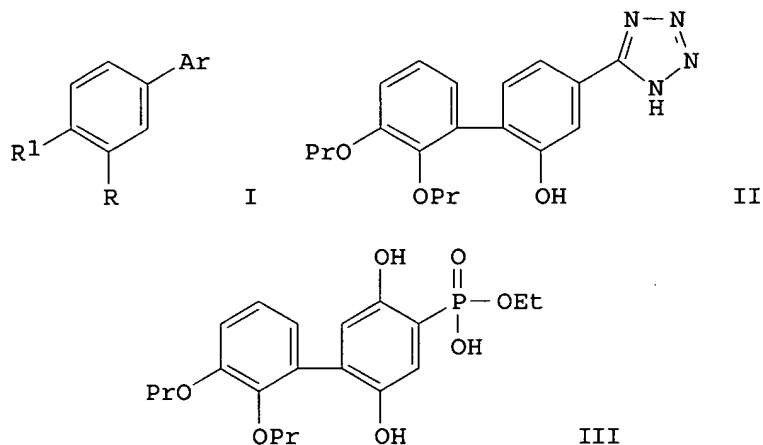
Derivative: or pharmaceutically acceptable addition salts  
 Patent location: claim 8

L71 ANSWER 92 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 119:159867 MARPAT <<LOGINID::20061024>>

TITLE: Phenol derivatives as agonists of a cyclic AMP-dependent protein kinase  
 INVENTOR(S): Porter, Roderick Alan; Prain, Hunter Douglas; Murray, Kenneth John; Warrington, Brian Herbert  
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

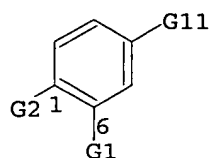
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310107	A1	19930527	WO 1992-GB2119	19921116
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9229274	A1	19930615	AU 1992-29274	19921116
EP 620815	A1	19941026	EP 1992-923480	19921116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 07503235	T2	19950406	JP 1992-509095	19921116
ZA 9208894	A	19940518	ZA 1992-8894	19921118
PRIORITY APPLN. INFO.:			GB 1991-24579	19911120
			WO 1992-GB2119	19921116

GI



AB The title compds. I (Ar = Ph, substituted phenyl; R = HO or bioprecursor; R1 = tetrazolyl, carboxyalkyl, etc.) and their uses as pharmaceuticals are claimed. I are cyclic adenosine monophosphate-dependent protein kinase antagonists. I are potentially useful as antiproliferative agents, blood platelet aggregation inhibitors, smooth muscle relaxants, bronchodilators, antiallergics, inflammation inhibitors, antihypercholesteremics, and for treatment of irritable bowel syndrome (no data). Treatment of 2-hydroxy-4-(2,3-dipropoxyphenyl)benzonitrile with sodium azide/ammonium chloride in N-methylpyrrolidinone gave 2-(5-tetrazolyl)-5-(2,3-dipropoxyphenyl)phenol (II). The pharmacol. activity of II was not tested. Also prepared was Et 2-hydroxy-4-(2,3-dipropoxyphenyl)phenyl phosphonate (III).

**MSTR 1**



G11 = Ph (opt. substd. by (1-3) G12)  
G12 = alkyl <containing 1-6 C> (opt. substd. by G15)  
G15 = 53

$\text{C}(\text{O})\text{G16}$   
53

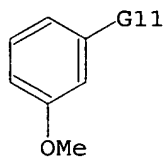
G16 = OH / NH2

Derivative:

Patent location:

or pharmaceutically acceptable salts  
claim 1

**MSTR 2**



G11 = Ph (opt. substd. by (1-3) G12)  
G12 = alkyl <containing 1-6 C> (opt. substd. by G15)  
G15 = CO2H / 53

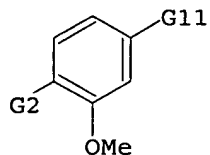
$\text{C}(\text{O})\text{G16}$   
53

G16 = NH2

Patent location:

claim 10

**MSTR 4**

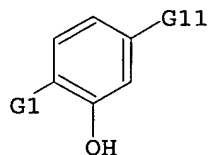


G11 = Ph (opt. substd. by (1-3) G12)  
 G12 = alkyl <containing 1-6 C> (opt. substd. by G15)  
 G15 = CO<sub>2</sub>H / 53

<sup>53</sup>C(O)-G16

G16 = NH<sub>2</sub>  
 Patent location: claim 10

**MSTR 6**



G11 = Ph (opt. substd. by (1-3) G12)  
 G12 = alkyl <containing 1-6 C> (opt. substd. by G15)  
 G15 = CO<sub>2</sub>H / 53

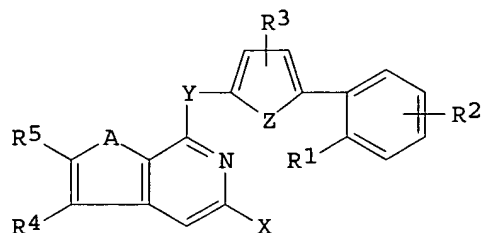
<sup>53</sup>C(O)-G16

G16 = NH<sub>2</sub>  
 Patent location: claim 10

L71 ANSWER 93 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 119:139256 MARPAT <<LOGINID::20061024>>  
 TITLE: Preparation of substituted quinazolines as angiotensin  
 II antagonists  
 INVENTOR(S): Primeau, John L.; Garrick, Lloyd M.  
 PATENT ASSIGNEE(S): American Home Products Corp., USA  
 SOURCE: U.S., 18 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

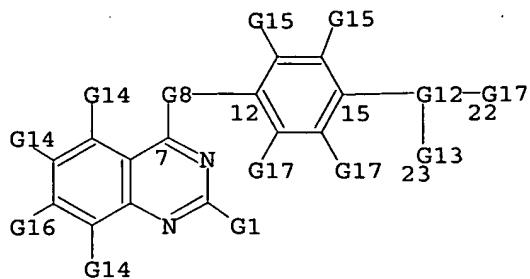
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5187168	A	19930216	US 1991-782850	19911024
US 5236925	A	19930817	US 1992-927032	19920806
WO 9308170	A1	19930429	WO 1992-US8991	19921023
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9331227	A1	19930521	AU 1993-31227	19921023
EP 612317	A1	19940831	EP 1992-925018	19921023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 07500344	T2	19950112	JP 1992-507898	19921023
US 5256781	A	19931026	US 1993-34030	19930322
PRIORITY APPLN. INFO.:			US 1991-782850	19911024
			US 1992-927032	19920806
			WO 1992-US8991	19921023

GI

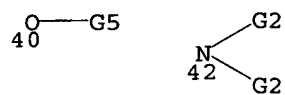


AB Title compds. I (A, Z = O, S, imino, CR7:CR8; R7, R8 = H, alkyl, alkoxyalkyl, HO<sub>2</sub>C, halo, perfluoroalkyl, aralkyl, NC, O<sub>2</sub>N, etc.; X = H, halo, perfluoroalkyl, alkoxyalkyl, R<sub>9</sub>R<sub>10</sub>N, carbamoyl(alkyl), etc.; R<sub>9</sub>, R<sub>10</sub> = H, alkyl, alkoxyalkyl, aralkyl, Y = R<sub>13</sub>N, etc.; R<sub>13</sub> = H, alkyl, perfluoroalkyl, etc.; R<sub>1</sub> = 5-tetrazolyl, HO<sub>3</sub>S, HO<sub>2</sub>C, MeSO<sub>2</sub>NH, etc.; R<sub>2</sub>-R<sub>4</sub> = R<sub>7</sub>; R<sub>5</sub> = alkyl, halo, alkyl, HO, R<sub>9</sub>R<sub>10</sub>N, NC, etc.) or a salt thereof, are prepared 4,2-Cl(O<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CONH<sub>2</sub> (preparation given) was reduced to the amino derivative, treated with F<sub>3</sub>CCONH<sub>2</sub> to give 7-chloro-2-trifluoromethyl-4-quinazolinone, chlorinated with POCl<sub>3</sub>, and the dichloro derivative was treated with 4'-(aminomethyl)-1,1'-biphenyl-2-carboxylic acid to give I (A = Z = CH:CH, X = F<sub>3</sub>C, Y = NH, R = HO<sub>2</sub>C R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = H, R<sub>5</sub> = 8-Cl). A similar prepared compound I (A = S, Z = CH:CH<sub>2</sub>, X = F<sub>3</sub>C, Y = NH, R<sub>1</sub> = NaO<sub>2</sub>C, R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = H) at 10 mg/kg i.d. lowered the angiotensin II-dependent blood pressure by .apprx.45% at 1/2 h post administration.

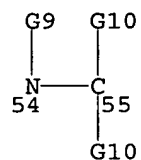
MSTR 1C



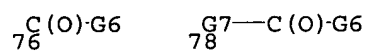
G6 = 40 / 42



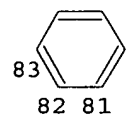
G7 = (1-3) CH<sub>2</sub>  
G8 = 54-7 55-12



G10 = 76 / 78



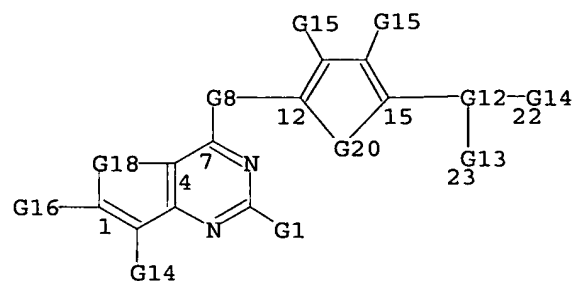
G12 = 83-15 82-23 81-22



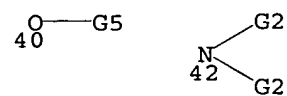
Derivative: or pharmaceutically acceptable salts, solvates, and hydrates  
Patent location: claim 1

**MSTR 2**

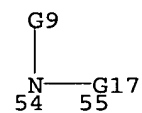
LAO 10/569817



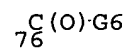
G6 = 40 / 42



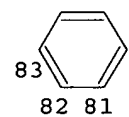
G8 = 54-7 55-12



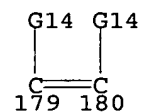
G10 = 76



G12 = 83-15 82-23 81-22



G17 = alkylidene (opt. substd. by 1 or more G10)  
G20 = 179-12 180-15



Derivative: or pharmaceutically acceptable salts, solvates, and  
hydrates  
Patent location: disclosure

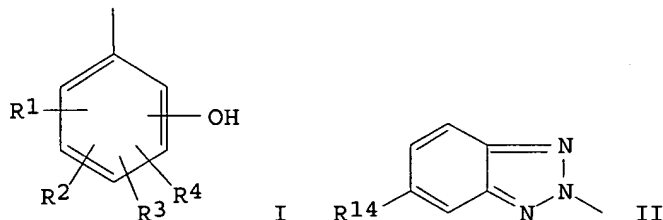


Note: substitution is restricted

L71 ANSWER 94 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 117:100829 MARPAT <<LOGINID::20061024>>  
 TITLE: Method for forming photographic images by using silver  
 dye bleach method  
 INVENTOR(S): Laver, Hugh Stephen; Leppard, David G.  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Eur. Pat. Appl., 20 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 465412	A1	19920108	EP 1991-810473	19910619
R: BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
CA 2045718	AA	19911229	CA 1991-2045718	19910626
JP 04233534	A2	19920821	JP 1991-183549	19910628
PRIORITY APPLN. INFO.:			CH 1990-2150	19900628
			CH 1990-3052	19900920

GI



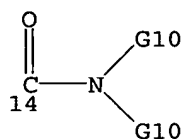
AB The title method comprises exposure of the photog. material in presence of a phenolic stabilizer X(Y)<sub>n</sub> [n = 1, 2, 4; Y = I where R1, R2 = H, OH; R3, R4 = R1, halogen, alkyl, alkoxy, Ph, phenoxy, naphthyl, naphthoxy, OCOR8 (R8 = alkyl, alkenyl, benzyl; X (when n = 1) = H, R10QCO(CH2)<sub>m</sub>, R10C(:NR11), R10SO, R10SO2, II (m = 0-3; R10 = H, alkyl, alkenyl, phenylalkyl, naphthyl, substituted Ph; Q = bond, O, NR9, OCO; R11 = H, alkyl, Ph, benzyl; R14 = H, alkyl, halogen, alkoxy; R9 = H, alkyl); X (when n = 2) = CO, SO, SO2, :C:NR11, ((CH2)<sub>m</sub>CO)2Z, R18 (R18 = alkylene, alkenylene, alkinylene, phenylene, -p-C6H4-CMe3-p-C6H4-; Z = direct bond, alkylene, phenylene, etc.; X (when n = 4) = C((CH2)<sub>m</sub>CO2(CH2)<sub>m</sub>)4-]. The material shows improved color d. retention.

MSTR 1B

G3—G1—G16—G14—G3  
 2 97

LAO 10/5698.12

G1 = phenylene (substd. by 1 or more G2)  
G3 = alkyl <containing 1-18 C> (opt. substd. by G5)  
G5 = CO<sub>2</sub>H / 14



G16 = phenylene  
Patent location: claim 2

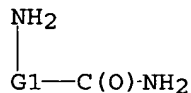
L71 ANSWER 95 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 115:159796 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of  $\alpha$ -amino acids  
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;  
Oosu, Motomasa  
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03093756	A2	19910418	JP 1989-231163	19890905
PRIORITY APPLN. INFO.:			JP 1989-231163	19890905

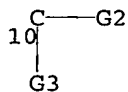
OTHER SOURCE(S): CASREACT 115:159796

AB  $\alpha$ -Amino acids are prepared by liquid-phase hydrolysis of H<sub>2</sub>NCR<sub>1</sub>R<sub>2</sub>CONH<sub>2</sub> [R<sub>1</sub>, R<sub>2</sub> = H, cyclohexyl, (substituted) lower alkyl or Ph] by contacting with H<sub>2</sub>O in presence of Zn(OH)<sub>2</sub>. A mixture containing H<sub>2</sub>NCH(CONH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>SMe, H<sub>2</sub>O, and Zn(OH)<sub>2</sub> was autoclaved at 140° for 2 h to give 88% methionine, vs. 10% without Zn(OH)<sub>2</sub>.

**MSTR 1**



G1 = 10



G2 = alkyl <containing 1-4 C>

LAO 10/569812

(opt. substd. by 1 or more G4)  
G3 = Ph (opt. substd. by 1 or more G4)  
G4 = CO<sub>2</sub>H / Ph (opt. substd. by 1 or more OH)  
Patent location: claim 1

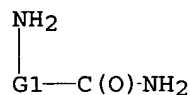
L71 ANSWER 96 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 115:159795 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of  $\alpha$ -amino acids  
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;  
Nagai, Koichi; Oosu, Motomasa  
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03093755	A2	19910418	JP 1989-231162	19890905
PRIORITY APPLN. INFO.:			JP 1989-231162	19890905

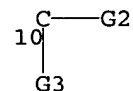
OTHER SOURCE(S): CASREACT 115:159795

AB  $\alpha$ -Amino acids are prepared by liquid-phase hydrolysis of H<sub>2</sub>NCR<sub>1</sub>R<sub>2</sub>CONH<sub>2</sub> [R<sub>1</sub>, R<sub>2</sub> = H, cyclohexyl, (substituted) lower alkyl or Ph] by contacting with H<sub>2</sub>O in presence of heteropoly acids or their salts. A mixture containing H<sub>2</sub>NCH(CONH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>SMe, H<sub>2</sub>O, and ammonium cesium molybdophosphate (I) was autoclaved at 140° for 2 h to give 94% methionine, vs. 10% without I.

MSTR 1



G1 = 10



G2 = alkyl <containing 1-4 C>  
(opt. substd. by 1 or more G4)  
G3 = Ph (opt. substd. by 1 or more G4)  
G4 = CO<sub>2</sub>H / Ph (opt. substd. by 1 or more OH)  
Patent location: claim 1

L71 ANSWER 97 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 115:159794 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of  $\alpha$ -amino acids

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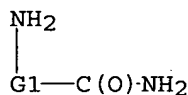
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;  
Yoshioka, Hiroshi; Oosu, Motomasa  
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03093754	A2	19910418	JP 1989-229726	19890904
PRIORITY APPLN. INFO.:			JP 1989-229726	19890904

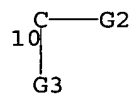
OTHER SOURCE(S): CASREACT 115:159794

AB  $\alpha$ -Amino acids are prepared by liquid-phase hydrolysis of  $H_2NCR_1R_2CONH_2$  [ $R_1, R_2 = H$ , cyclohexyl, (substituted) lower alkyl or Ph] by contacting with  $H_2O$  in the presence of compound metal oxides. An aqueous solution of  $Nb_2O_5$  was treated dropwise with  $Ti(OCHMe_2)_4$  to give a precipitated double hydroxide, which was calcined 6 h at  $300^\circ$  to afford  $TiO_2-Nb_2O_5$  catalyst. Then,  $H_2NCH(CONH_2)CH_2CH_2SMe$ ,  $H_2O$ , and the catalyst were autoclaved at  $140^\circ$  for 2 h to give 94% methionine, vs. 10% without the catalyst.

**MSTR 1**



G1 = 10



G2 = alkyl <containing 1-4 C>  
(opt. substd. by 1 or more G4)  
G3 = Ph (opt. substd. by 1 or more G4)  
G4 =  $CO_2H$  / Ph (opt. substd. by 1 or more OH)  
Patent location: claim 1

L71 ANSWER 98 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 115:159793 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of  $\alpha$ -amino acids  
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;  
Sato, Hiroshi; Oosu, Motomasa; Too, Yasuhiko  
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese

LAO 10/569812

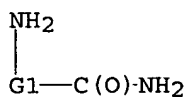
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03093753	A2	19910418	JP 1989-229725	19890904
PRIORITY APPLN. INFO.:			JP 1989-229725	19890904

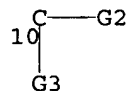
OTHER SOURCE(S): CASREACT 115:159793

AB  $\alpha$ -Amino acids are prepared by liquid-phase hydrolysis of  $H_2NCR_1R_2CONH_2$  [ $R_1, R_2 = H, \text{cyclohexyl}, (\text{substituted}) \text{ lower alkyl or Ph}$ ] by contacting with  $H_2O$  in presence of  $ZrO_2$ ,  $TiO_2$ , and/or  $Nb_2O_5$ . A mixture containing  $H_2NCH(CONH_2)CH_2CH_2SMe$ ,  $H_2O$ , and  $ZrO_2$  was autoclaved at  $140^\circ$  for 2 h to give 94% methionine, vs. 10% without  $ZrO_2$ .

MSTR 1



G1 = 10



G2 = alkyl <containing 1-4 C>  
(opt. substd. by 1 or more G4)  
G3 = Ph (opt. substd. by 1 or more G4)  
G4 =  $CO_2H$  / Ph (opt. substd. by 1 or more OH)  
Patent location: claim 1

L71 ANSWER 99 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 115:92272 MARPAT <<LOGINID::20061024>>  
TITLE: Preparation of (6,7-dihydro-5H-pyrrolo[1,2-c]imidazol-5-yl)- and (5,6,7,8-tetrahydroimidazo[1,5-a]pyridin-5-yl) substituted 1H-benzotriazole derivatives as aromatase inhibitors

INVENTOR(S): Greco, Michael N.; Janssen, Marcel August Constant

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

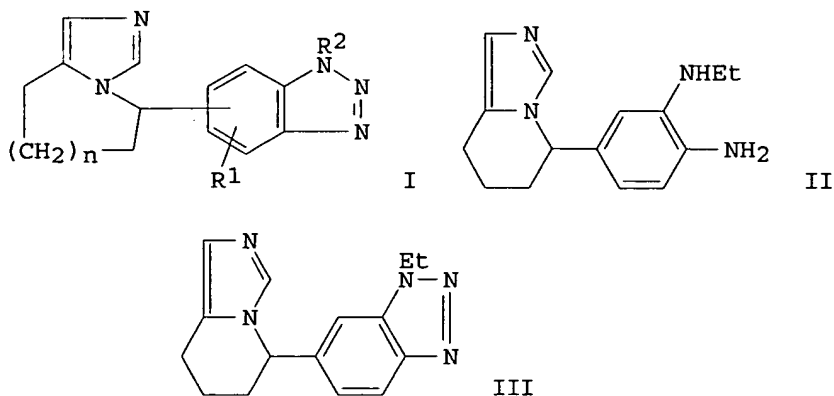
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

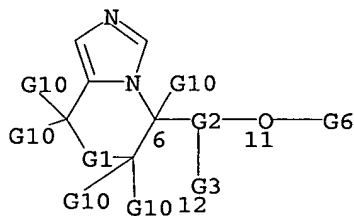
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 426225	A2	19910508	EP 1990-202751	19901016
EP 426225	A3	19911009		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE



MSTR 1A



```
G1      = bond
G6      = alkyl <containing 1-10 C>
          (opt. substd. by 1 or more G8)
G8      = CONH2   / CO2H   / 77
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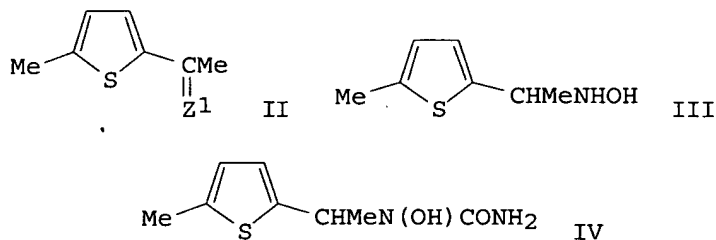
 $p\text{-C}_6\text{H}_4\text{Ph}$

Derivative: or pharmaceutically acceptable acid addition salts  
 Patent location: claim 1  
 Stereochemistry: or stereochemically isomeric forms

L71 ANSWER 100 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 114:185252 MARPAT <<LOGINID::20061024>>  
 TITLE: Preparation of (thienylalkyl) urea derivative as  
 lipoxxygenase inhibiting compounds  
 INVENTOR(S): Brooks, Dee W.; Stewart, Andrew O.; Summers, James B.;  
 Kerkman, Daniel J.; Martin, Jonathan G.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9012008	A1	19901018	WO 1990-US1488	19900320
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2050597	AA	19901001	CA 1990-2050597	19900320
JP 04504261	T2	19920730	JP 1990-506101	19900320
EP 588785	A1	19940330	EP 1990-906504	19900320
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
US 5185363	A	19930209	US 1991-768621	19910930
PRIORITY APPLN. INFO.:			US 1989-331566	19890330
			US 1986-856725	19860425
			US 1987-42491	19870424
			WO 1990-US1488	19900320

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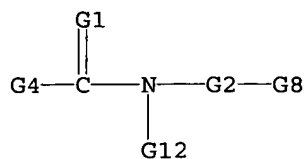
AB R<sub>1</sub>R<sub>2</sub>NC(Z)N(OM)XR<sub>3</sub> [I; R<sub>1</sub>, R<sub>2</sub> = H, (substituted) C<sub>1</sub>-6 alkyl, OH; R<sub>3</sub> = (substituted) Ph, naphthyl, thienyl, etc.; M = H, cation, aroyl, etc.; X = (substituted) C<sub>1</sub>-6 alkylene, C<sub>2</sub>-6 alkenylene, etc.; Z = O, S], useful as 5- and 12-lipoxxygenase inhibitors in treatment of inflammatory diseases, etc., are prepared To a stirred solution of 5.0 g acetylthiophene derivative (II; Z1 = O) in 1:1 EtOH-pyridine was added H<sub>2</sub>NOH.HCl with stirring to give quant. oxime (II; Z1 = NOH), which (5.5 g) was reduced with BH<sub>3</sub>-pyridine in EtOH to give 2.2 g hydroxylamine derivative III. To a stirred solution of

2.2

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g III in THF was added Me<sub>3</sub>SiNCO, followed by saturated NH<sub>4</sub>Cl to give 1.7 g urea derivative IV, which showed IC<sub>50</sub> of 0.53 + 10<sup>-6</sup>M in vitro against 5-lipoxygenase and 94% inhibition of in vivo leukotriene biosynthesis at 200 μmol/kg orally in rats. Also prepared and tested were 157 addnl. I.

**MSTR 1A**



G2 = alkylene <containing 1-6 C>  
(opt. substd. by 1 or more G3)  
G3 = 24

$\text{C(O)-G17}$   
24

G4 = 7

$\text{G5}-\text{G6}$   
7

G5 = 9

$\text{N}-\text{G21}$   
9

G7 = 24

$\text{C(O)-G17}$   
24

G8 = 30

$\text{G22}-\text{G23}$   
30

G17 = NH<sub>2</sub> / OH  
G19 = 24

$\text{C(O)-G17}$   
24

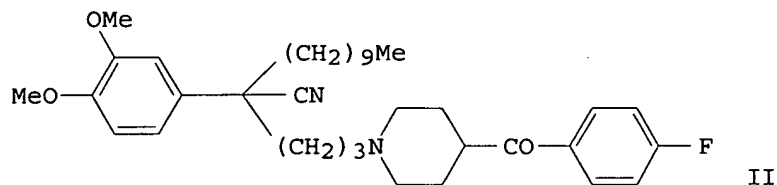


G21 = alkyl <containing 1-6 C>  
 (opt. substd. by 1 or more G7) /  
 aryl (opt. substd. by 1 or more G19)  
 G22 = phenylene  
 G23 = Ph (opt. substd. by 1 or more G13)  
 Derivative: or pharmaceutically acceptable salts  
 Patent location: claim 1

L71 ANSWER 101 OF 101 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 113:211849 MARPAT <<LOGINID::20061024>>  
 TITLE: Arylalkylpiperidines and -piperazines as  
 antihypertensives  
 INVENTOR(S): Syoji, Masataka; Toyota, Kozo; Eguchi, Chikahiko;  
 Domoto, Hideki; Yoshimoto, Ryota; Kamimura, Akira  
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan  
 SOURCE: Eur. Pat. Appl., 59 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 370712	A2	19900530	EP 1989-311961	19891117
EP 370712	A3	19911002		
R: CH, DE, FR, GB, IT, LI				
JP 02262541	A2	19901025	JP 1989-26232	19890203
PRIORITY APPLN. INFO.:			JP 1988-293408	19881118
			JP 1988-303461	19881130
			JP 1989-26232	19890203
			JP 1989-64059	19890316

GI

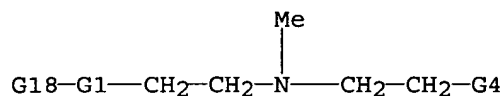


AB QXCH2CH2N(Z)CH2CH2YW[I; Q = PhO, 4-F3CC6H4, 2-O2NC6H4, 2-H2NC6H4, 2-EtO2CNHC6H4, naphthyl, etc.; X = (substituted) (heteroatom-interrupted) alkylene, alkenylene; Z = Me; W = H; ZW = CH2CH2; Y = PhCOCH, 4-FC6H4COCH, 4-FC6H4CON, PhN, 4-FC6H4 CH:C Ph2CHN, 4-FC6H4 SO2N, etc.], were prepared Thus, 3,4-(MeO)2C6H3CH2CN in dimethoxyethane (DME) was added dropwise to NaNH2 in DME at room temp; the mixture was then stirred at 50° for 1 h and Br(CH2)9Me in DME was added at room temperature The mixture was stirred in 1 h at room temperature and 2 h at 50°, cooled, treated with NaNH2, stirred 2 h at 50°, cooled, treated with Br(CH2)3Cl in DME, stirred 1 h at room temperature and 2 h at 50° to give 3,4-

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(MeO)2C6H3C[(CH2)9Me][(CH2)3Cl]CN. The latter was refluxed with 4-(4-fluorobenzoyl)piperidine.HCl, K2CO3, and NaI in MeCOCH2CHMe2 overnight to give II. I at 10 mg/kg i.v. in rats reduced blood pressure by up to 135 mm Hg 30 min after administration.

**MSTR 1A**



G1 = carbon chain (opt. substd. by 1 or more G17)  
G17 = 119

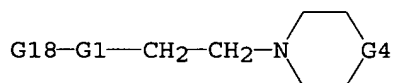
$\text{C}(\text{O})_{119}\text{G19}$

G18 = 121

$\text{p-C}_6\text{H}_4\text{G20}_{121}$

G19 = OH (opt. substd.) / NH2  
G20 = Ph  
Derivative: or pharmaceutically acceptable salts  
Patent location: claim 1

**MSTR 1M**



G1 = carbon chain (opt. substd. by 1 or more G17)  
G17 = 119

$\text{C}(\text{O})_{119}\text{G19}$

G18 = 121

$\text{p-C}_6\text{H}_4\text{G20}_{121}$

G19 = OH (opt. substd.) / NH2

G20 = Ph

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

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